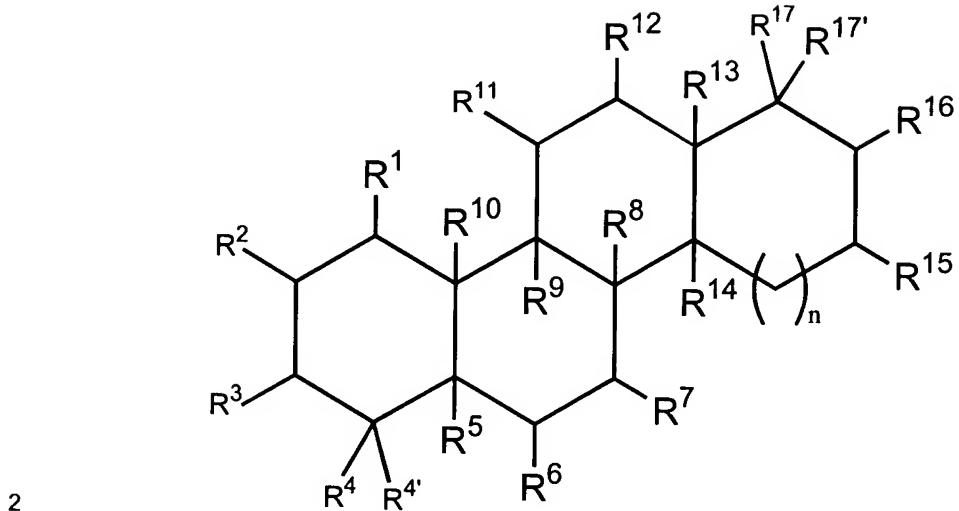


1 1. A compound of the following formula:



2

3 wherein

4        R<sup>3</sup> is hydrogen, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or  
5        alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -  
6        SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
7        or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
8        sulfonic acid, or -O-sulfonic acid;

9        each of R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
10      hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
11      that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
12      -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
13      N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
14      sulfonic acid, or -O-sulfonic acid;

15        each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
16      hydroxyalkyl, alkoxy, hydroxy, or amino;

17        R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
18      NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
19      the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
20      SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
21      -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,

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22 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
23 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
24 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,  
25 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
26 CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -  
27 COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is hydrogen or alkyl; and  
28 n is 0, 1, or 2;  
29 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
30 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
31 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
32 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
33 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
34 or a salt thereof.

1 2. The compound of claim 1, wherein n is 0.

1 3. The compound of claim 1, wherein R<sup>3</sup> is amino, carboxyl, halo, sulfonic acid, -O-  
2 sulfonic acid, or alkyl; R<sup>6</sup> is hydroxy, amino, carboxyl, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl; and each of R<sup>3</sup> and R<sup>6</sup>, independently, is in the  $\alpha$ -  
4 configuration.

1 4. The compound of claim 1, wherein R<sup>5</sup> is hydrogen and is in the  $\beta$ -configuration.

1 5. The compound of claim 1, wherein R<sup>3</sup> is oxo; each of R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>,  
2 R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.

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1 6. The compound of claim 5, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>,  
2 and R<sup>17'</sup>, independently, is hydrogen, hydroxy, or oxo; and each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>,  
3 R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen or hydroxy; or a salt thereof.

1 7. The compound of claim 6, wherein X is a bond or alkyl.

1 8. The compound of claim 7, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>

1 9. The compound of claim 1, wherein X is a bond or alkyl.

1 10. The compound of claim 9, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>

1 11. The compound of claim 6, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -  
2 CO-NH-, -NH-CO-, or a bond.

1 12. The compound of claim 11, wherein Z is alkyl, alkenyl, aryl, heteroaryl, aralkyl, or  
2 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, halo, sulfonic acid,  
3 carboxyl, -O-sulfonic acid, alkylsulfinyl, or alkylsulfonyl; or is -CH(A)-B.

1 13. The compound of claim 1, wherein Z is alkyl or aryl, each of which being optionally  
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain  
3 having an aromatic moiety, and B being -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup>.

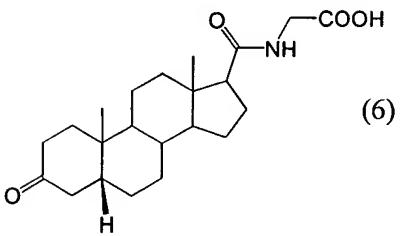
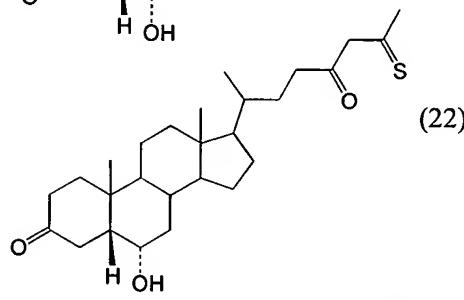
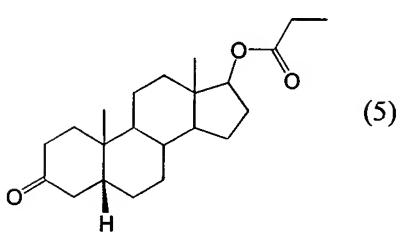
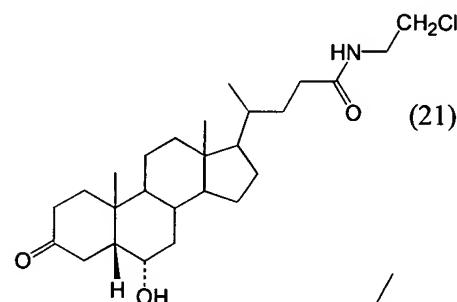
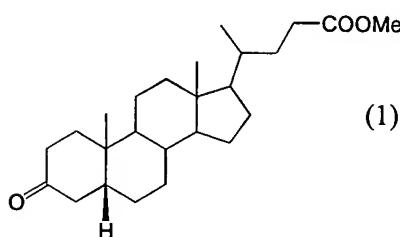
1 14. The compound of claim 1, wherein R<sup>17</sup> contains a straight chain having 6-20 chain  
2 atoms.

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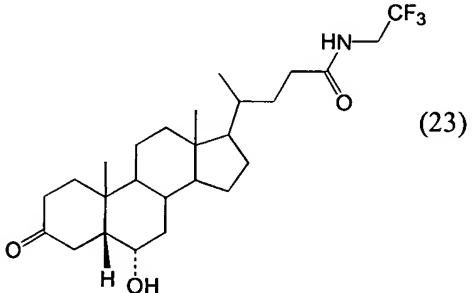
1 15. The compound of claim 14, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.

1 16. The compound of claim 1, wherein X is -CH(CH<sub>3</sub>)-CH<sub>2</sub>-, Y is a bond, and Z is -CH<sub>2</sub>-  
2 CH=C(R')(CH<sub>3</sub>) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-  
3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,  
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,  
5 or alkylthio.

1 17. The compound of claim 1, wherein said compound  
2 is:

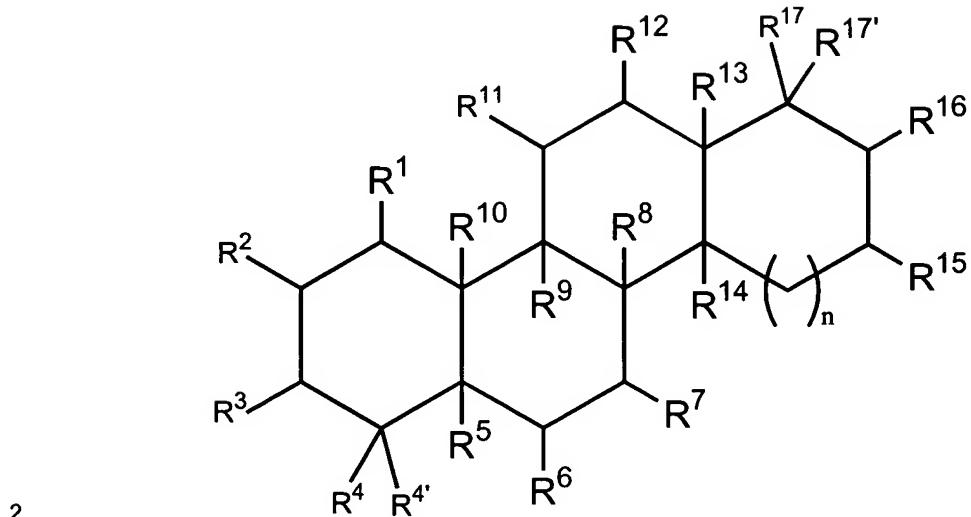


or



3

1 18. A compound of the following formula:



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3 wherein

4 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
5 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
6 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
7 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
8 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
9 sulfonic acid, or -O-sulfonic acid;

10 each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
11 hydroxyalkyl, alkoxy, hydroxy, or amino;

12 R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
13 NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
14 the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
15 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
16 -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
17 cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
18 optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
19 carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,

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20 alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
21 CH(A)-B with A being an amino acid side chain containing an aromatic moiety, and B  
22 being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is  
23 hydrogen or alkyl; and  
24 n is 0, 1, or 2;  
25 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
26 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
27 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
28 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
29 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
30 or a salt thereof.

1 19. The compound of claim 18, wherein n is 0.

1 20. The compound of claim 18, wherein each of R<sup>3</sup> and R<sup>6</sup>, independently, is hydroxy,  
2 amino, carboxyl, halo, sulfonic acid, -O-sulfonic acid, or alkyl, and is in the  $\alpha$ -  
3 configuration.

1 21. The compound of claim 18, wherein R<sup>5</sup> is hydrogen and is in the  $\beta$ -configuration.

1 22. The compound of claim 18, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.

1 23. The compound of claim 22, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, or oxo; and each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>,  
3 R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen or hydroxy.

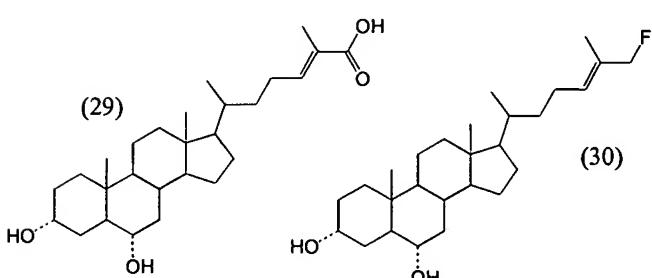
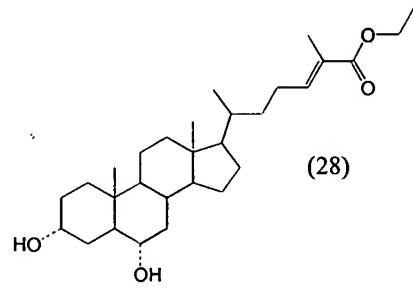
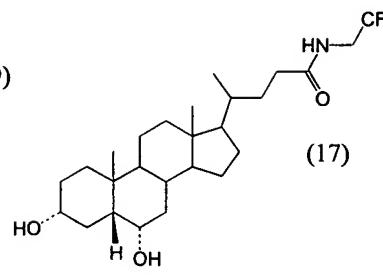
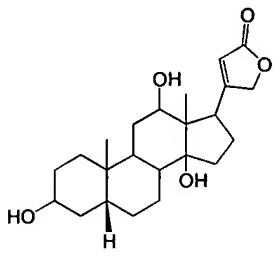
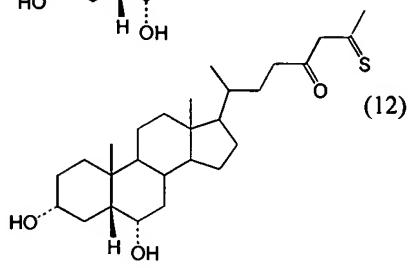
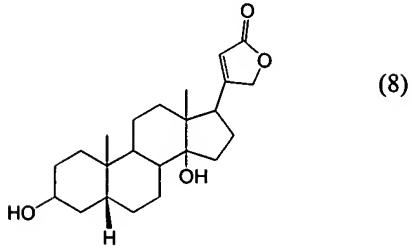
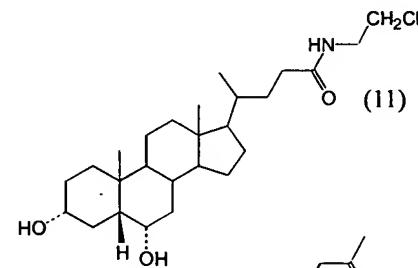
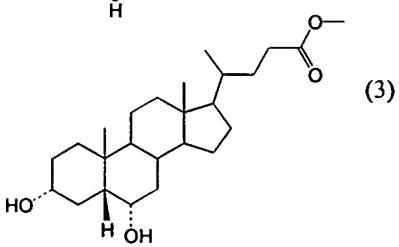
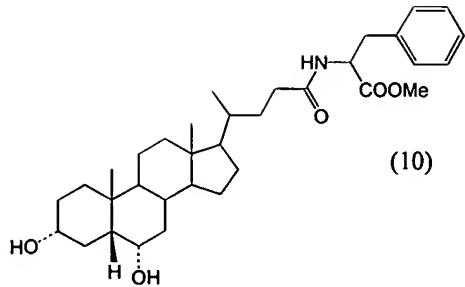
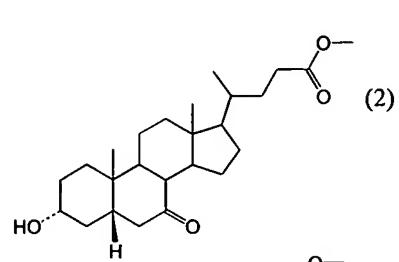
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- 1 24. The compound of claim 23, wherein X is a bond or alkyl.
- 1 25. The compound of claim 24, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 26. The compound of claim 18, wherein X is a bond or alkyl.
- 1 27. The compound of claim 26, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 28. The compound of claim 18, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-,  
2 -CO-NH-, -NH-CO-, or a bond.
- 1 29. The compound of claim 28, wherein Z is alkyl, alkenyl, aryl, heteroaryl, aralkyl, or  
2 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, halo, sulfonic acid,  
3 carboxyl, -O-sulfonic acid, alkylsulfinyl, or alkylsulfonyl; or is -CH(A)-B.
- 1 30. The compound of claim 18, wherein Z is alkyl or aryl, each of which being optionally  
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain  
3 having an aromatic moiety, and B being -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup>.
- 1 31. The compound of claim 18, wherein R<sup>17</sup> contains a straight chain having 6-20 chain  
2 atoms.
- 1 32. The compound of claim 31, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.

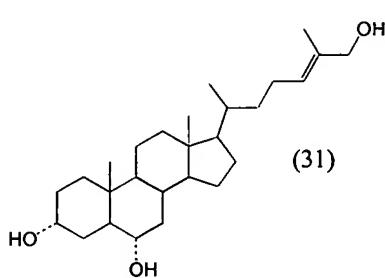
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- 1 33. The compound of claim 18, wherein X is  $-\text{CH}(\text{CH}_3)\text{-CH}_2-$ , Y is a bond, and Z is –
- 2      $\text{CH}_2\text{-CH}=\text{C}(\text{R}')(\text{CH}_3)$  with R' being hydroxy, alkoxy, amino, halo, sulfonic acid,  $-\text{O}-$
- 3     sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,
- 4     alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,
- 5     or alkylthio.

1 34. The compound of claim 18, wherein said compound is:

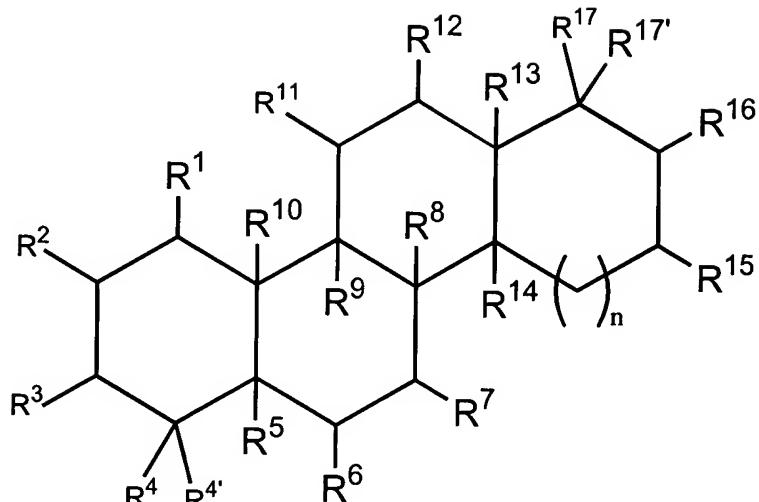


or



1 35. A compound of the following formula:

2



3

#### 4 wherein

each of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^4'$ ,  $R^6$ ,  $R^7$ ,  $R^{11}$ ,  $R^{12}$ ,  $R^{15}$ ,  $R^{16}$ , and  $R^{17}$ ', independently, is hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl, sulfonic acid, or -O-sulfonic acid:

each of  $R^5$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{13}$ , and  $R^{14}$ , independently, is hydrogen, alkyl, haloalkyl, hydroxylalkyl, alkoxy, hydroxy, or amino;

13         $R^{17}$  is  $-X-Y-Z$ , in which X is a bond, or alkyl or alkenyl, optionally inserted with  
14     $-NH-$ ,  $-N(alkyl)-$ ,  $-O-$ , or  $-S-$ , and further optionally forming a cyclic moiety with  $R^{16}$  and  
15    the 2 ring carbon atoms to which  $R^{16}$  and  $R^{17}$  are bonded; Y is  $-CO-$ ,  $-SO-$ ,  $-SO_2-$ ,  $-O-$   
16     $SO_2-$ ,  $-SO_2-O-$ ,  $-O-SO_3-$ ,  $-SO_3-O-$ ,  $-CO-O-$ ,  $-O-CO-$ ,  $-CO-NH-$ ,  $-CO-N(alkyl)-$ ,  $-NH-CO-$ ,  
17     $-N(alkyl)-CO-$ , or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
18    cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
19    optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid,  $-O$ -sulfonic acid,  
20    carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,  
21    alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
22     $CH(A)-B$  with A being a side chain of an amino acid, and B being hydrogen,  $-NR^aR^b$ , or -  
23     $COOR^c$  wherein each of  $R^a$ ,  $R^b$ , and  $R^c$ , independently, is hydrogen or alkyl; and  
24        n is 0, 1, or 2;

25        provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
26    bond and either X or Z contains at least one double bond, and that when Y is a bond,  
27    either X is  $-NH$ -alkyl-,  $-NH$ -alkenyl-,  $-N(alkyl)$ -alkyl-,  $-N(alkyl)$ -alkenyl-,  $-O$ -alkyl-,  $-O$ -  
28    alkenyl-,  $-S$ -alkyl-, or  $-S$ -alkenyl-; or Z is substituted with halo, sulfonic acid,  $-O$ -sulfonic  
29    acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl; and

30        further provided that at least one of  $R^3$  and  $R^4$ ,  $R^4$  and  $R^5$ ,  $R^5$  and  $R^6$ ,  $R^7$  and  $R^8$ ,  
31     $R^{12}$  and  $R^{13}$ , and  $R^{15}$  and  $R^{16}$ , independently, is deleted to form a double bond;  
32    or a salt thereof.

1    36. The compound of claim 35, wherein at least one of  $R^3$  and  $R^4$ ,  $R^4$  and  $R^5$ ,  $R^{12}$  and  $R^{13}$ ,  
2        and  $R^{15}$  and  $R^{16}$ , independently, is deleted to form a double bond.

1    37. The compound of claim 35, wherein n is 0.

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- 1 38. The compound of claim 35, wherein R<sup>3</sup> is hydroxy, amino, carboxyl, halo, sulfonic
- 2 acid, -O-sulfonic acid, or alkyl, and is in the  $\alpha$ -configuration.
- 1 39. The compound of claim 35, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.
- 1 40. The compound of claim 39, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, or oxo; and each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>,  
3 R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen or hydroxy.
- 1 41. The compound of claim 40, wherein X is a bond or alkyl.
- 1 42. The compound of claim 41, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -  
2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 43. The compound of claim 35, wherein X is a bond or alkyl.
- 1 44. The compound of claim 35, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-,  
2 -CO-NH-, -NH-CO-, or a bond.
- 1 45. The compound of claim 35, wherein Z is alkyl or aryl, each of which being optionally  
2 substituted with hydroxy; or is -CH(A)-B with A being an amino acid side chain  
3 having an aromatic moiety, and B being -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup>.
- 1 46. The compound of claim 35, wherein R<sup>17</sup> contains a straight chain having 6-20 chain  
2 atoms.

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1 47. The compound of claim 46, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.

1 48. The compound of claim 35, wherein X is -CH(CH<sub>3</sub>)-CH<sub>2</sub>-, Y is a bond, and Z is -  
2 CH<sub>2</sub>-CH=C(R')(CH<sub>3</sub>) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-  
3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,  
4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,  
5 or alkylthio.

1 49. The compound of claim 35, wherein Z is alkenyl, alkynyl, cycloalkyl,  
2 heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or  
3 heteroaralkyl.

1 50. The compound of claim 49, wherein n is 0.

1 51. The compound of claim 49, wherein R<sup>3</sup> is hydroxy, amino, carboxyl, halo, sulfonic  
2 acid, -O-sulfonic acid, or alkyl, and is in the  $\alpha$ -configuration.

1 52. The compound of claim 49, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, oxo, halo, sulfonic acid, -O-  
3 sulfonic acid, or alkyl.

1 53. The compound of claim 52, wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>,  
2 R<sup>16</sup>, and R<sup>17'</sup>, independently, is hydrogen, hydroxy, or oxo; and each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>,  
3 R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen or hydroxy.

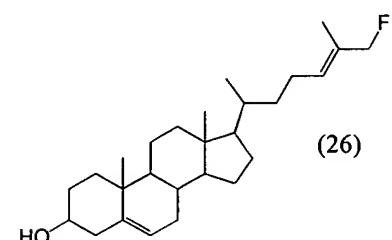
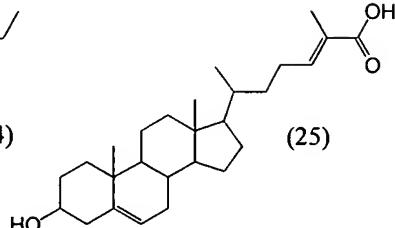
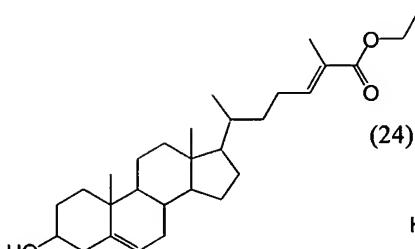
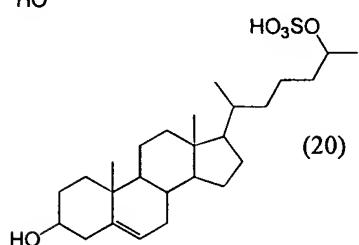
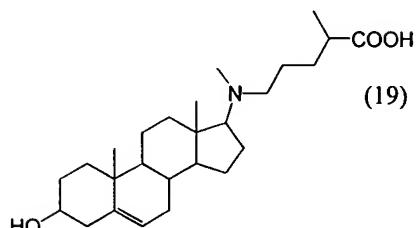
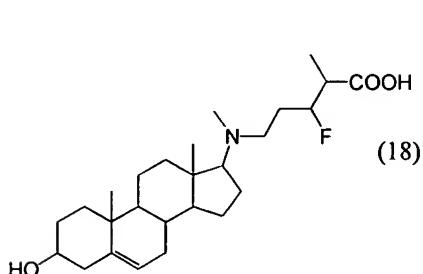
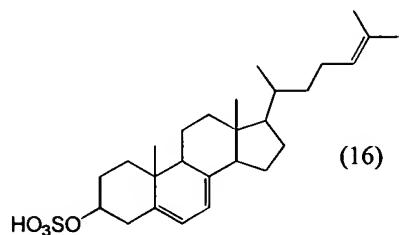
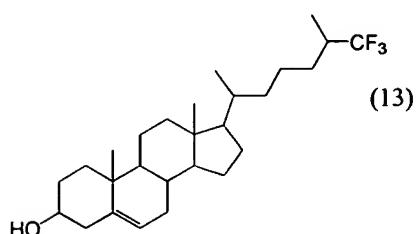
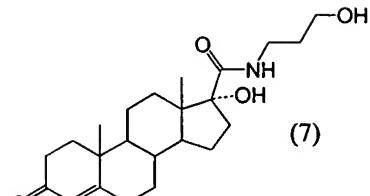
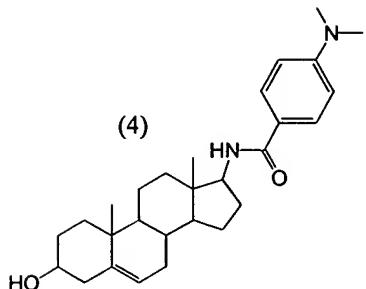
1 54. The compound of claim 53, wherein X is a bond or alkyl.

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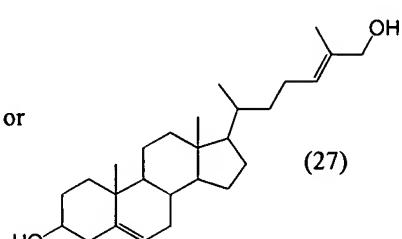
- 1 55. The compound of claim 54, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
- 2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 56. The compound of claim 49, wherein X is a bond or alkyl.
- 1 57. The compound of claim 56, wherein Y is -C(=O)-NH- or -NH-C(=O)-; and Z is -
- 2 CH(A)-B with A being a side chain of Tyr or Phe, and B being -NR<sup>a</sup>R<sup>b</sup> or -COOR<sup>c</sup>
- 1 58. The compound of claim 49, wherein Y is -CO-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-,  
2 -CO-NH-, -NH-CO-, or a bond.
- 1 59. The compound of claim 49, wherein R<sup>17</sup> contains a straight chain having 6-20 chain  
2 atoms.
- 1 60. The compound of claim 59, wherein R<sup>17</sup> contains a straight chain having 8-16 chain  
2 atoms.
- 1 61. The compound of claim 49, wherein X is -CH(CH<sub>3</sub>)-CH<sub>2</sub>-, Y is a bond, and Z is -
- 2 CH<sub>2</sub>-CH=C(R')(CH<sub>3</sub>) with R' being hydroxy, alkoxy, amino, halo, sulfonic acid, -O-
- 3 sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,
- 4 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl,
- 5 or alkylthio.

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1 62. The compound of claim 49, wherein said compound  
2 is:



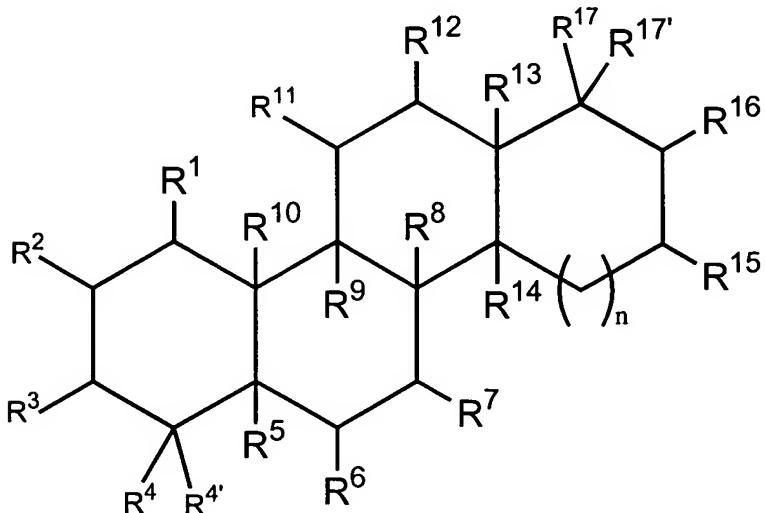
or



3

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1 63. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said  
2 composition comprising a pharmaceutically acceptable carrier and an effective  
3 amount of a compound of the following formula:



4 wherein

5 R<sup>3</sup> is hydrogen, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or  
6 alkyl that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -  
7 SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
8 or -N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
9 sulfonic acid, or -O-sulfonic acid;

10 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17</sup>, independently, is  
11 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
12 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
13 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
14 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
15 sulfonic acid, or -O-sulfonic acid;

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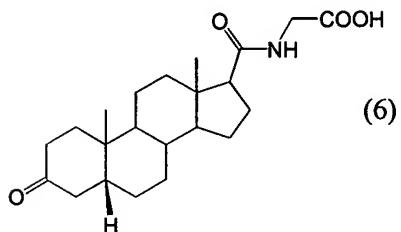
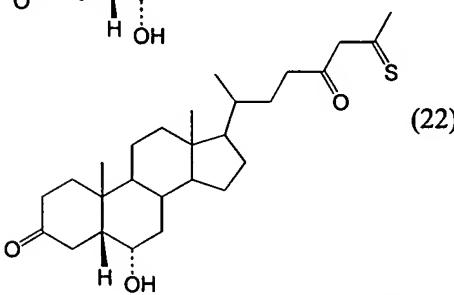
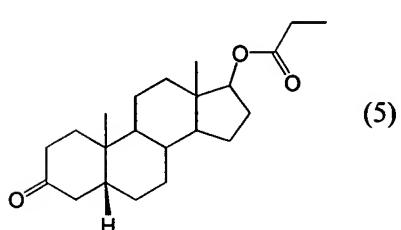
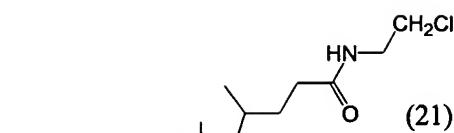
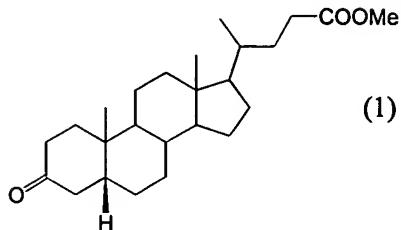
17        each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
18        hydroxyalkyl, alkoxy, hydroxy, or amino;

19        R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
20    NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
21    the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
22    SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
23    -N(alkyl)-CO-, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
24    cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
25    optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic acid, -O-sulfonic acid,  
26    carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl,  
27    alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is -  
28    CH(A)-B with A being a side chain of an amino acid, and B being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -  
29    COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is hydrogen or alkyl; and  
30        n is 0, 1, or 2;

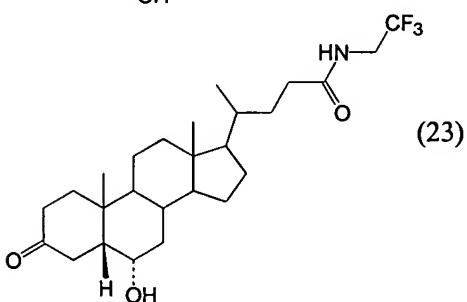
31        provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
32    bond and either X or Z contains at least one double bond, and that when Y is a bond,  
33    either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
34    alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
35    acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
36    or a salt thereof.

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1 64. The composition of claim 63, wherein said compound  
2 is:

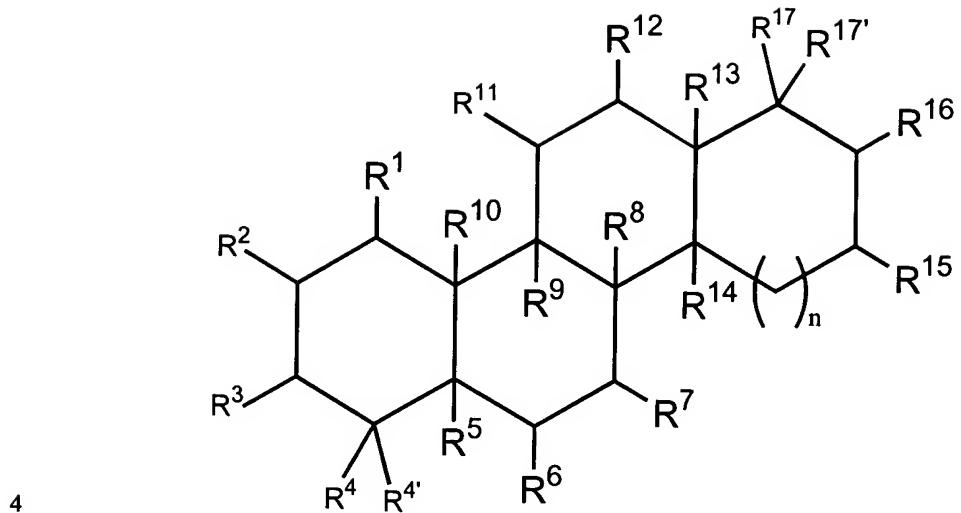


or



3

1 65. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said  
2 composition comprising a pharmaceutically acceptable carrier and an effective  
3 amount of a compound of the following formula:



## 5 wherein

6 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
7 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
8 that is optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-,  
9 -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
10 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
11 sulfonic acid, or -O-sulfonic acid;

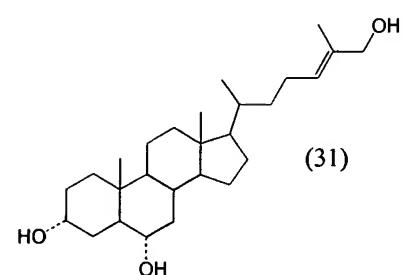
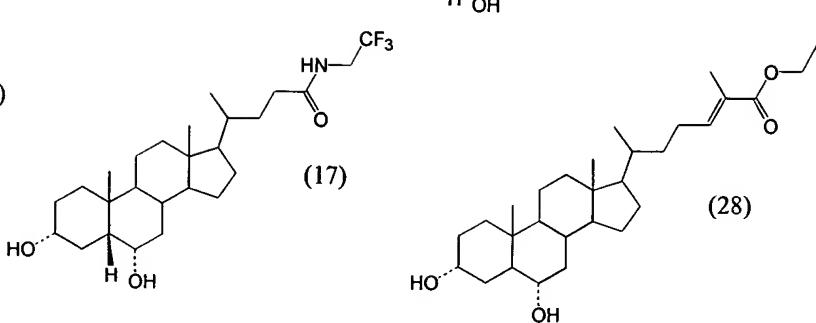
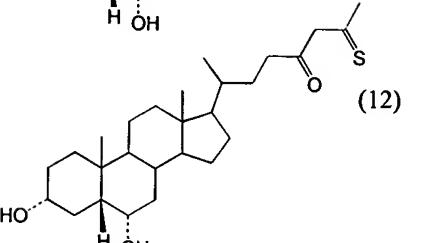
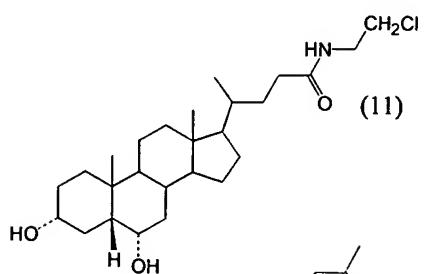
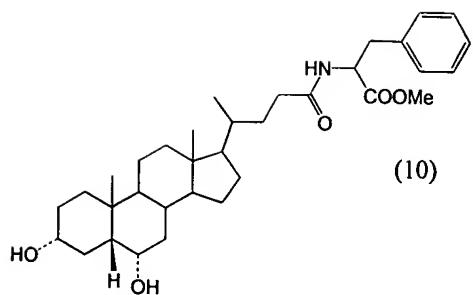
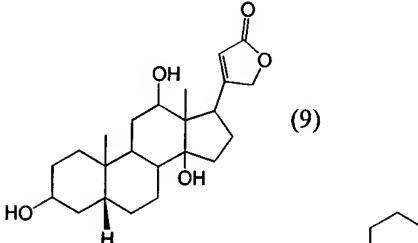
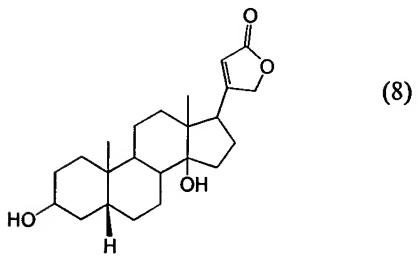
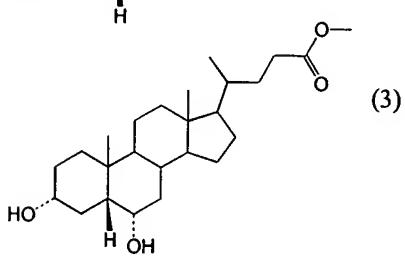
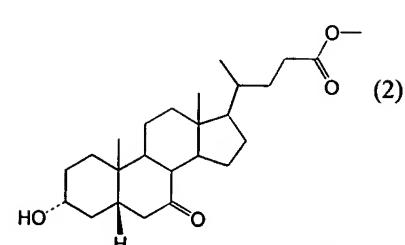
12 each of  $R^5$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$ ,  $R^{13}$ , and  $R^{14}$ , independently, is hydrogen, alkyl, haloalkyl,  
13 hydroxyalkyl, alkoxy, hydroxy, or amino;

14             $R^{17}$  is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with -  
15     $NH$ -,  $-N(alkyl)$ -,  $-O$ -, or  $-S$ -, and further optionally forming a cyclic moiety with  $R^{16}$  and  
16    the 2 ring carbon atoms to which  $R^{16}$  and  $R^{17}$  are bonded; Y is  $-CO$ -,  $-SO$ -,  $-SO_2$ -,  $-O$ -  
17     $SO_2$ -,  $-SO_2-O$ -,  $-O-SO_3$ -,  $-SO_3-O$ -,  $-CO-O$ -,  $-O-CO$ -,  $-CO-NH$ -,  $-CO-N(alkyl)$ -,  $-NH-CO$ -,  
18     $-N(alkyl)-CO$ -, or a bond; and Z is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl,  
19    cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, and is  
20    substituted with hydroxy, alkoxy, amino, halo, sulfonic acid,  $-O$ -sulfonic acid, carboxyl,  
21    oxo, alkyloxycarbonyl, alkylcarbonyloxy, alkylaminocarbonyl, alkylcarbonylamino,  
22    alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or alkylthio; or is  $-CH(A)-B$  with A being an

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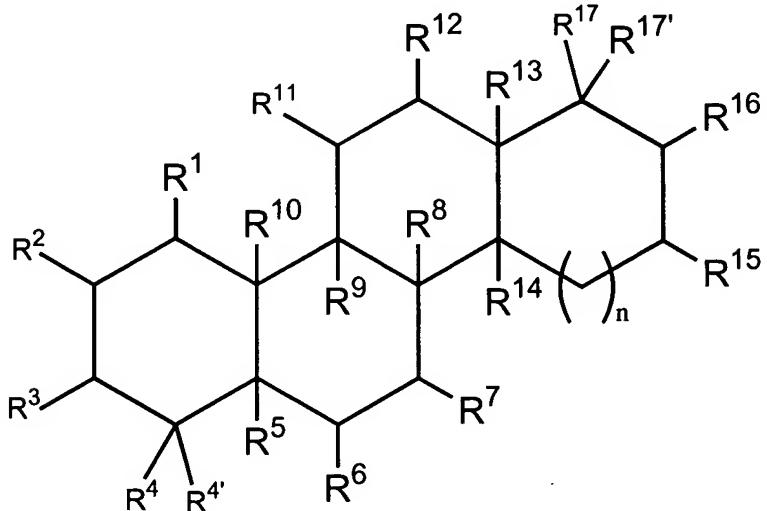
23 amino acid side chain containing an aromatic moiety, and B being hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -  
24 COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is hydrogen or alkyl; and  
25 n is 0, 1, or 2;  
26 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
27 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
28 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
29 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
30 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl;  
31 or a salt thereof.

1 66. The composition of claim 65, wherein said compound is:



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1 67. A pharmaceutical composition for treating a UR- or a LXR-mediated disorder, said  
2 composition comprising a pharmaceutically acceptable carrier and an effective  
3 amount of a compound of the following formula:



5 wherein

6 each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>4'</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>15</sup>, R<sup>16</sup>, and R<sup>17'</sup>, independently, is  
7 hydrogen, hydroxy, amino, carboxyl, oxo, halo, sulfonic acid, -O-sulfonic acid, or alkyl  
8 optionally inserted with -NH-, -N(alkyl)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -O-SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-  
9 SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-, or -  
10 N(alkyl)-CO-, and further optionally substituted with hydroxy, halo, amino, carboxyl,  
11 sulfonic acid, or -O-sulfonic acid;  
12 each of R<sup>5</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>13</sup>, and R<sup>14</sup>, independently, is hydrogen, alkyl, haloalkyl,  
13 hydroxyalkyl, alkoxy, hydroxy, or amino;  
14 R<sup>17</sup> is -X-Y-Z, in which X is a bond, or alkyl or alkenyl, optionally inserted with

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15 -NH-, -N(alkyl)-, -O-, or -S-, and further optionally forming a cyclic moiety with R<sup>16</sup> and  
16 the 2 ring carbon atoms to which R<sup>16</sup> and R<sup>17</sup> are bonded; Y is -CO-, -SO-, -SO<sub>2</sub>-, -O-  
17 SO<sub>2</sub>-, -SO<sub>2</sub>-O-, -O-SO<sub>3</sub>-, -SO<sub>3</sub>-O-, -CO-O-, -O-CO-, -CO-NH-, -CO-N(alkyl)-, -NH-CO-,  
18 -N(alkyl)-CO-, or a bond; and Z is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl,  
19 heterocycloalkyl, cycloalkenyl, heterocycloalkenyl, aryl, heteroaryl, aralkyl, or  
20 heteroaralkyl, and is optionally substituted with hydroxy, alkoxy, amino, halo, sulfonic  
21 acid, -O-sulfonic acid, carboxyl, oxo, alkyloxycarbonyl, alkylcarbonyloxy,  
22 alkylaminocarbonyl, alkylcarbonylamino, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, or  
23 alkylthio; or is -CH(A)-B with A being a side chain of an amino acid, and B being  
24 hydrogen, -NR<sup>a</sup>R<sup>b</sup>, or -COOR<sup>c</sup> wherein each of R<sup>a</sup>, R<sup>b</sup>, and R<sup>c</sup>, independently, is  
25 hydrogen or alkyl; and

26 n is 0, 1, or 2;

27 provided that when Z is substituted with carboxyl or alkyloxycarbonyl, Y is a  
28 bond and either X or Z contains at least one double bond, and that when Y is a bond,  
29 either X is -NH-alkyl-, -NH-alkenyl-, -N(alkyl)-alkyl-, -N(alkyl)-alkenyl-, -O-alkyl-, -O-  
30 alkenyl-, -S-alkyl-, or -S-alkenyl-; or Z is substituted with halo, sulfonic acid, -O-sulfonic  
31 acid, alkylsulfinyl, or alkylsulfonyl, or is alkenyl; and further provided that at least one of  
32 R<sup>3</sup> and R<sup>4</sup>, R<sup>4</sup> and R<sup>5</sup>, R<sup>5</sup> and R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup>, R<sup>12</sup> and R<sup>13</sup>, and R<sup>15</sup> and R<sup>16</sup>, independently,  
33 is deleted to form a double bond;  
34 or a salt thereof.

1 68. The composition of claim 67, wherein said compound is:

